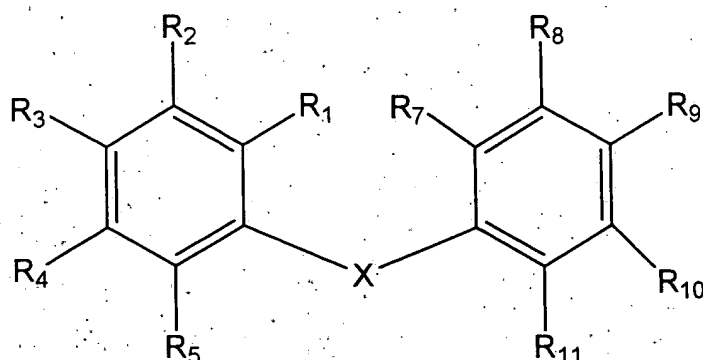


CLAIMS

We claim:

1. A compound of the formula:



wherein:

X is selected from  $-C(O)-N(R_6)-$ ,  $-N(R_6)-C(O)-$ ,  $-CH_2-N(R_6)-$ ,  $-N(R_6)-CH_2-$ ,  $-N(R_6)-S(O)_2-$ ,  $-S(O)_2-N(R_6)-$ ,  $-C(R_{12})(R_{12})-C(O)-$ ,  $-C(O)-C(R_{12})(R_{12})-$ ,  $-C(R_{12})(R_{12})-S(O)_2-$ ,  $-S(O)_2-C(R_{12})(R_{12})-$ ,  $-S(O)_2-O-$ ,  $-O-S(O)_2-$ ,  $-NR_6-C(O)-Y-$  or  $Y-C(O)-NR_6-$ ; wherein

each  $R_6$  is independently selected from hydrogen,  $C_1-C_4$  straight or branched alkyl,  $C_2-C_4$  straight or branched alkenyl or alkynyl, Ar-substituted- $C_1-C_4$  straight or branched alkyl, or Ar-substituted- $C_2-C_4$  straight or branched alkenyl or alkynyl; wherein

$R_6$  is optionally substituted with up to 3 substituents independently selected from halo, hydroxy, nitro, cyano or amino;

each  $R_{12}$  is independently selected from  $R_6$ , W- $[C_1-C_4$  straight or branched alkyl], W- $[C_2-C_4$  straight or branched alkenyl or alkynyl], Ar-substituted-[W- $[C_1-C_4$  straight or branched alkyl]], Ar-substituted-[W- $[C_2-C_4$  straight or branched alkenyl or alkynyl]],

O-Ar, N(R<sub>6</sub>)-Ar, S-Ar, S(O)-Ar, S(O)<sub>2</sub>-Ar, S-C(O)H, N(R<sub>6</sub>)-C(O)H, or O-C(O)H; wherein

W is O-, O-C(O)-, S-, S(O)-, S(O)<sub>2</sub>-, S-C(O)-, N(R<sub>6</sub>)-, or N(R<sub>6</sub>)-C(O)-; and wherein

each R<sub>12</sub> is optionally and independently substituted with up to 3 substituents independently selected from halo, hydroxy, nitro, cyano or amino;

Y is selected from -O-, -S-, -C≡C-, -C(R<sub>12</sub>)<sub>2</sub>-, C(R<sub>12</sub>)<sub>2</sub>-, -C(R<sub>12</sub>)<sub>2</sub>- or -C(R<sub>12</sub>)=C(R<sub>12</sub>)-;

each of R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>11</sub> is independently selected from hydrogen, halo, hydroxy, cyano, nitro, amino, -C(O)NH<sub>2</sub>, Z-[(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl], Z-[(C<sub>2</sub>-C<sub>4</sub>)-straight or branched alkenyl or alkynyl], Ar-substituted-[(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl], Ar-substituted-[(C<sub>2</sub>-C<sub>4</sub>)-straight or branched alkenyl or alkynyl], Ar, Q-Ar, [(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl]-Q-Ar, [(C<sub>2</sub>-C<sub>4</sub>)-straight or branched alkenyl or alkynyl]-Q-Ar, O-[(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl]-Q-Ar, O-[(C<sub>2</sub>-C<sub>4</sub>)-straight or branched alkenyl or alkynyl]-Q-Ar, or any two adjacent groups selected from either R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> or R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>11</sub> may be taken together with the carbon atoms to which they are bound to form a 5 to 6-membered aromatic carbocyclic or heterocyclic ring; wherein

Z is selected from a bond, O-, S-, S(O)<sub>2</sub>-, C(O)-, OC(O)-, or N(H)C(O)-;

Q is selected from O, -O-C(O)-, -C(O)-O-, -N(H)-C(O)-O-, -O-N(H)-C(O)-, -N(H)-C(O)-, -C(O)-N(H)-, -O-C(O)-N(H)-, or -C(O)-N(H)-O-;

Ar is selected from phenyl, 1-naphthyl, 2-naphthyl, indenyl, azulenyl, fluorenyl, anthracenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-

pyridyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, 2-pyrazolinyl, pyrazolidinyl, isoxazolyl, isotriazolyl, 1,2,3-oxadiazolyl, 1,2,3-triazolyl, 1,3,4-thiadiazolyl, pyridazinyl, pyrimidinyl, pyrazinyl, 1,3,5-triazinyl, 1,3,5-trithianyl, indolizinyl, indolyl, isoindolyl, 3H-indolyl, indolinyl, benzo[b]furanyl, benzo[b]thiophenyl, 1H-indazolyl, benzimidazolyl, benzthiazolyl, purinyl, 4H-quinolizinyl, quinolinyl, isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, 1,8-naphthyridinyl, peridinyl, carbazolyl, acridinyl, phenazinyl, phenothiazinyl or phenoxazinyl or other chemically feasible monocyclic, bicyclic or tricyclic ring systems, wherein each ring consists of 5 to 7 ring atoms and wherein each ring comprises 0 to 3 heteroatoms independently selected from N, O and S;

$R_{13}$  is selected from [ $C_1$ - $C_{12}$  straight or branched alkyl] or, [ $C_2$ - $C_{12}$  straight or branched alkenyl or alkynyl]; wherein  $R_{13}$  is optionally substituted with 1 to 4 substituents independently selected from  $R_{14}$  or  $R_{15}$ , wherein

each  $R_{14}$  is a monocyclic or a bicyclic ring system consisting of 3 to 7 members per ring, wherein said ring system optionally comprises up to 4 heteroatoms selected from N, O, and S; wherein a  $CH_2$  adjacent to said N, O or S may be substituted with  $C(O)$ ; and wherein  $R_{14}$  optionally comprises up to 2 substituents independently selected from ( $C_1$ - $C_4$ )-straight or branched alkyl, ( $C_2$ - $C_4$ )-straight or branched alkenyl, 1,2-methylenedioxy, 1,2-ethylenedioxy,  $(CH_2)_n-R_{16}$ ,  $-S-(CH_2)_n-R_{16}$ ,  $-S(O)-(CH_2)_n-R_{16}$ ,  $-S(O)_2-(CH_2)_n-R_{16}$ ,  $-O-(CH_2)_n-R_{16}$ , or  $-N(R_{18})-(CH_2)_n-R_{16}$

wherein n is 0, 1 or 2;

$R_{16}$  is selected from halogen,  $-\text{CN}$ ,  $-\text{NO}_2$ ,  $-\text{CF}_3$ ,  $-\text{OCF}_3$ ,  $-\text{OH}$ ,  $-\text{S}-(\text{C}_1-\text{C}_4)\text{-alkyl}$ ,  $-\text{S}(\text{O})-(\text{C}_1-\text{C}_4)\text{-alkyl}$ ,  $-\text{S}(\text{O})_2-(\text{C}_1-\text{C}_4)\text{-alkyl}$ ,  $-\text{NH}_2$ ,  $-\text{NH}-(\text{C}_1-\text{C}_4)\text{-alkyl}$ ,  $-\text{N}((\text{C}_1-\text{C}_4)\text{-alkyl})_2$ ,  $\text{COOH}$ ,  $\text{C}(\text{O})-\text{O}-(\text{C}_1-\text{C}_4)\text{-alkyl}$  or  $\text{O}-(\text{C}_1-\text{C}_4)\text{-alkyl}$ ; and

each  $R_{15}$  is independently selected from  $-\text{OR}_{17}$ , or  $-\text{N}(\text{R}_{18})_2$ ;

$R_{17}$  is selected from hydrogen,  $-(\text{C}_1-\text{C}_6)\text{-straight alkyl}$ ,  $-(\text{C}_1-\text{C}_6)\text{-straight alkyl-Ar}$ ,  $-\text{C}(\text{O})-(\text{C}_1-\text{C}_6)\text{-straight or branched alkyl}$ ,  $-\text{C}(\text{O})-\text{Ar}$ , or  $-(\text{C}_1-\text{C}_6)\text{-straight alkyl-CN}$ ; and

each  $R_{18}$  is independently selected from  $-(\text{C}_1-\text{C}_6)\text{-straight or branched alkyl}$ ,  $-(\text{C}_1-\text{C}_6)\text{-straight or branched alkyl-Ar}$ ,  $-(\text{C}_1-\text{C}_6)\text{-straight alkyl-CN}$ ,  $-(\text{C}_1-\text{C}_6)\text{-straight alkyl-OH}$ ,  $-(\text{C}_1-\text{C}_6)\text{-straight alkyl-OR}_{17}$ ,  $-\text{C}(\text{O})-(\text{C}_1-\text{C}_6)\text{-straight or branched alkyl}$ ,  $-\text{C}(\text{O})-\text{Ar}$ ,  $-\text{S}(\text{O})_2-(\text{C}_1-\text{C}_6)\text{-straight or branched alkyl}$ , or  $-\text{S}(\text{O})_2-\text{Ar}$ ; wherein

any alkyl, alkenyl or alkynyl group is optionally substituted with 1 to 3 independently selected halo groups; and

any Ar, aromatic carbocyclic ring or heterocyclic ring is optionally substituted with 1 to 3 substituents independently selected from halo, hydroxy, nitro, cyano, amino,  $(\text{C}_1-\text{C}_4)\text{-straight or branched alkyl}$ ;  $\text{O}-(\text{C}_1-\text{C}_4)\text{-straight or branched alkyl}$ ,  $(\text{C}_2-\text{C}_4)\text{-straight or branched alkenyl or alkynyl}$ , or  $\text{O}-(\text{C}_2-\text{C}_4)\text{-straight or branched alkenyl or alkynyl}$ ;

any Ar, aromatic carbocyclic ring or heterocyclic ring is optionally benzofused; with the provisos that:

at least two of  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ , or  $R_5$  is hydrogen;

no more than two of  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ , or  $R_5$  comprises

Ar;

at least two of  $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  or  $R_{11}$  is hydrogen; and

no more than two of  $R_7, R_8, R_9, R_{10}$  or  $R_{11}$  comprises  
Ar;

when X is  $-C(O)-N(R_6)-$  or  $-N(R_6)-C(O)-$ , then

two adjacent groups, selected from either  $R_1, R_2, R_3, R_4$  and  $R_5$ , or from  $R_7, R_8, R_9, R_{10}$  and  $R_{11}$ , may not be taken together with the carbon atoms to which they are bound to form a 6-membered aromatic carbocyclic ring;

when X is  $-NH-S(O)_2-$  or  $-S(O)_2-N(H)-$ ,

one of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  is O-  
( $C_1-C_4$ )-straight or branched alkyl, and

seven of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  are hydrogen, then

the remaining two of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  are not bound together to form a 5 to 6-membered aromatic carbocyclic or heterocyclic ring;

when X is  $-NH-S(O)_2-$  or  $-S(O)_2-N(H)-$ ,

two of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  are O-  
( $C_1-C_4$ )-straight or branched alkyl, and

seven of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  are hydrogen, then

the remaining one of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  is not  $-NO_2$ ,  $-CN$  or  $-Ar$ ;

when X is  $-NH-S(O)_2-$  or  $-S(O)_2-N(H)-$ ,

two of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  are O-  
( $C_1-C_4$ )-straight or branched alkyl, and

six of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  are hydrogen, then

the remaining two of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  are not both halo;

when X is  $-NH-S(O)_2-$  or  $-S(O)_2-N(H)-$ , and

one of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  is Ar,

then

the remaining 9 of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  are not each hydrogen;

when X is  $-N(H)-C(O)-S-$  or  $-S-C(O)-N(H)-$ ,

one of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  is  $-OH$ ,  
and

eight of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  are hydrogen, then

the remaining one of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  is not halo;

when wherein X is  $-N(H)-C(O)-S-$  or  $-S-C(O)-N(H)-$ ,

one of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  is  $-OH$ ,

seven of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  are hydrogen, and

one of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  is  $O-(C_1-C_4)$ -straight or branched alkyl, then

the remaining one of  $R_1, R_2, R_3, R_4, R_5, R_7, R_8, R_9, R_{10}$  or  $R_{11}$  is not halo or  $(C_1-C_4)$ -straight or branched alkyl.

2. The compound according to claim 1, wherein X is selected from  $-C(O)-N(R_6)-$ ,  $-N(R_6)-C(O)-$ ,  $-CH_2-N(R_6)-$ , or  $-N(R_6)-CH_2-$ .

3. The compound according to claim 1, wherein  $R_1$  is selected from H,  $(C_1-C_4)$ -straight or branched alkyl, OH,  $O-(C_1-C_4)$ -straight or branched alkyl, O-Ar,  $OCF_3$ , halo, cyano or  $S-(C_1-C_4)$ -straight or branched alkyl.

4. The compound according to claim 2, wherein  $R_1$  is H and  $R_2$  is not H.

5. The compound according to claim 1, wherein  $R_2$  is selected from H,  $(C_1-C_4)$ -straight or branched alkyl, Ar,

O-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-Ar, OCF<sub>3</sub>, halo, cyano, C(O)NH<sub>2</sub> or S(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl.

6. The compound according to claim 5, wherein R<sub>2</sub> is H.

7. The compound according to claim 1, wherein R<sub>3</sub> is selected from H, Ar, cyano, O-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-Ar, S-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, CF<sub>3</sub> or OCF<sub>3</sub>.

8. The compound according to claim 1, wherein R<sub>4</sub> is selected from H, (C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, OH, O-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-Ar, OCF<sub>3</sub>, halo, cyano or S-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl.

9. The compound according to claim 1, wherein R<sub>5</sub> is selected from H, (C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, Ar, O-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-Ar, OCF<sub>3</sub>, halo, cyano, C(O)NH<sub>2</sub> or S(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl.

10. The compound according to claim 9, wherein R<sub>5</sub> is H.

11. The compound according to claim 1, wherein R<sub>7</sub> is selected from H, OH, OC(O)-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-Ar, amino, or N(H)C(O)-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl.

12. The compound according to claim 11, wherein R<sub>7</sub> is OH.

13. The compound according to claim 1, wherein R<sub>8</sub> is H, (C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-straight or

branched alkyl, or (C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl-N(H)C(O)O-Ar.

14. The compound according to claim 1, wherein R<sub>9</sub> is selected from H, (C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, or R<sub>9</sub> is taken together with R<sub>10</sub> and the carbon atoms to which they are bound to form a fused benzene ring.

15. The compound according to claim 14, wherein R<sub>9</sub> and R<sub>10</sub> are taken together with the carbon atoms to which they are bound to form a fused benzene ring.

16. The compound according to claim 1, wherein R<sub>10</sub> is selected from H, (C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl.

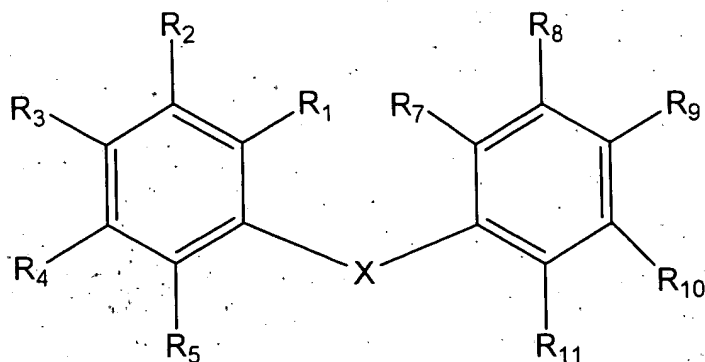
17. The compound according to claim 1, wherein R<sub>11</sub> is selected from H, OH, OC(O)-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl, O-Ar, amino, or N(H)C(O)-(C<sub>1</sub>-C<sub>4</sub>)-straight or branched alkyl.

18. The compound according to claim 17, wherein R<sub>11</sub> is H.

19. A composition comprising:

a) a compound of the formula:





wherein:

X is selected from  $-C(O)-N(R_6)-$ ,  $-N(R_6)-C(O)-$ ,  $-CH_2-N(R_6)-$ ,  $-N(R_6)-CH_2-$ ,  $-N(R_6)-S(O)_2-$ ,  $-S(O)_2-N(R_6)-$ ,  $-C(R_{12})(R_{12})-C(O)-$ ,  $-C(O)-C(R_{12})(R_{12})-$ ,  $-C(R_{12})(R_{12})-S(O)_2-$ ,  $-S(O)_2-C(R_{12})(R_{12})-$ ,  $-S(O)_2-O-$ ,  $-O-S(O)_2-$ ,  $-NR_6-C(O)-Y-$  or  $Y-C(O)-NR_6-$ ; wherein

each  $R_6$  is independently selected from hydrogen,  $C_1-C_4$  straight or branched alkyl,  $C_2-C_4$  straight or branched alkenyl or alkynyl, Ar-substituted- $C_1-C_4$  straight or branched alkyl, or Ar-substituted- $C_2-C_4$  straight or branched alkenyl or alkynyl; wherein

$R_6$  is optionally substituted with up to 3 substituents independently selected from halo, hydroxy, nitro, cyano or amino;

each  $R_{12}$  is independently selected from  $R_6$ ,  $W-[C_1-C_4$  straight or branched alkyl],  $W-[C_2-C_4$  straight or branched alkenyl or alkynyl], Ar-substituted- $[W-[C_1-C_4$  straight or branched alkyl]], Ar-substituted- $[W-[C_2-C_4$  straight or branched alkenyl or alkynyl]], O-Ar,  $N(R_6)-Ar$ , S-Ar,  $S(O)-Ar$ ,  $S(O)_2-Ar$ , S-C(O)H,  $N(R_6)-C(O)H$ , or O-C(O)H; wherein

W is O-, O-C(O)-, S-, S(O)-,  $S(O)_2-$ , S-C(O)-,  $N(R_6)-$ , or  $N(R_6)-C(O)-$ ; and wherein

each  $R_{12}$  is optionally and independently substituted with up to 3 substituents independently selected from halo, hydroxy, nitro, cyano or amino;

Y is selected from  $-O-$ ,  $-S-$ ,  $-C\equiv C-$ ,  $-C(R_{12})_2-C(R_{12})_2-$ ,  $-C(R_{12})_2-$  or  $-C(R_{12})=C(R_{12})-$ ;

each of  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{11}$  is independently selected from hydrogen, halo, hydroxy, cyano, nitro, amino,  $-C(O)NH_2$ ,  $Z-[(C_1-C_4)\text{-straight or branched alkyl}]$ ,  $Z-[(C_2-C_4)\text{-straight or branched alkenyl or alkynyl}]$ ,  $Ar\text{-substituted-}[(C_1-C_4)\text{-straight or branched alkyl}]$ ,  $Ar\text{-substituted-}[(C_2-C_4)\text{-straight or branched alkenyl or alkynyl}]$ ,  $Ar$ ,  $Q-Ar$ ,  $[(C_1-C_4)\text{-straight or branched alkyl}]$ - $Q-Ar$ ,  $[(C_2-C_4)\text{-straight or branched alkenyl or alkynyl}]$ - $Q-Ar$ ,  $O-[(C_1-C_4)\text{-straight or branched alkyl}]$ - $Q-Ar$ ,  $O-[(C_2-C_4)\text{-straight or branched alkenyl or alkynyl}]$ - $Q-Ar$ ,  $[(C_1-C_4)\text{-straight or branched alkyl}]$ - $Q-R_{13}$ ,  $[(C_2-C_4)\text{-straight or branched alkenyl or alkynyl}]$ - $Q-R_{13}$ , or any two adjacent groups selected from either  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$  and  $R_5$  or  $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{11}$  may be taken together with the carbon atoms to which they are bound to form a 5 to 6-membered aromatic carbocyclic or heterocyclic ring; wherein

Z is selected from a bond,  $O-$ ,  $S-$ ,  $S(O)_2-$ ,  $C(O)-$ ,  $OC(O)-$ , or  $N(H)C(O)-$ ;

Q is selected from  $O$ ,  $-O-C(O)-$ ,  $-C(O)-O-$ ,  $-N(H)-C(O)-O-$ ,  $-O-N(H)-C(O)-$ ,  $-N(H)-C(O)-$ ,  $-C(O)-N(H)-$ ,  $-O-C(O)-N(H)-$ , or  $-C(O)-N(H)-O-$ ;

Ar is selected from phenyl, 1-naphthyl, 2-naphthyl, indenyl, azulenyl, fluorenyl, anthracenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, 2-pyrazolinyl, pyrazolidinyl, isoxazolyl, isotriazolyl, 1,2,3-oxadiazolyl, 1,2,3-triazolyl, 1,3,4-thiadiazolyl, pyridazinyl, pyrimidinyl, pyrazinyl, 1,3,5-triazinyl, 1,3,5-trithianyl, indolizinyl, indolyl,

isoindolyl, 3H-indolyl, indolinyl, benzo[b]furanyl, benzo[b]thiophenyl, 1H-indazolyl, benzimidazolyl, benzthiazolyl, purinyl, 4H-quinoliziny, quinolinyl, isoquinolinyl, 1,2,3,4-tetrahydro-isoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, 1,8-naphthyridinyl, peridinyl, carbazolyl, acridinyl, phenazinyl, phenothiazinyl or phenoxazinyl or other chemically feasible monocyclic, bicyclic or tricyclic ring systems, wherein each ring consists of 5 to 7 ring atoms and wherein each ring comprises 0 to 3 heteroatoms independently selected from N, O and S;

$R_{13}$  is selected from [ $C_1$ - $C_{12}$  straight or branched alkyl] or, [ $C_2$ - $C_{12}$  straight or branched alkenyl or alkynyl]; wherein  $R_{13}$  is optionally substituted with 1 to 4 substituents independently selected from  $R_{14}$  or  $R_{15}$ , wherein

each  $R_{14}$  is a monocyclic or a bicyclic ring system consisting of 3 to 7 members per ring, wherein said ring system optionally comprises up to 4 heteroatoms selected from N, O, and S; wherein a  $CH_2$  adjacent to said N, O or S may be substituted with C(O); and wherein  $R_{14}$  optionally comprises up to 2 substituents independently selected from ( $C_1$ - $C_4$ )-straight or branched alkyl, ( $C_2$ - $C_4$ )-straight or branched alkenyl, 1,2-methylenedioxy, 1,2-ethylenedioxy,  $(CH_2)_n-R_{16}$ ,  $-S-(CH_2)_n-R_{16}$ ,  $-S(O)-(CH_2)_n-R_{16}$ ,  $-S(O)_2-(CH_2)_n-R_{16}$ ,  $-O-(CH_2)_n-R_{16}$ , or  $-N(R_{18})-(CH_2)_n-R_{16}$

wherein n is 0, 1 or 2;

$R_{16}$  is selected from halogen,  $-CN$ ,  $-NO_2$ ,  $-CF_3$ ,  $-OCF_3$ ,  $-OH$ ,  $-S-(C_1-C_4)$ -alkyl,  $-S(O)-(C_1-C_4)$ -alkyl,  $-S(O)_2-(C_1-C_4)$ -alkyl,  $-NH_2$ ,  $-NH-(C_1-C_4)$ -alkyl,  $-N((C_1-C_4)$ -alkyl) $_2$ ,  $COOH$ ,  $C(O)-O-(C_1-C_4)$ -alkyl or  $O-(C_1-C_4)$ -alkyl; and

each  $R_{15}$  is independently selected from  $-OR_{17}$ , or

$-N(R_{18})_2$ ;

$R_{17}$  is selected from hydrogen,  $-(C_1-C_6)$ -straight alkyl,  $-(C_1-C_6)$ -straight alkyl-Ar,  $-C(O)-(C_1-C_6)$ -straight or branched alkyl,  $-C(O)-Ar$ , or  $-(C_1-C_6)$ -straight alkyl-CN; and

each  $R_{18}$  is independently selected from  $-(C_1-C_6)$ -straight or branched alkyl,  $-(C_1-C_6)$ -straight or branched alkyl-Ar,  $-(C_1-C_6)$ -straight alkyl-CN,  $-(C_1-C_6)$ -straight alkyl-OH,  $-(C_1-C_6)$ -straight alkyl-OR<sub>17</sub>,  $-C(O)-(C_1-C_6)$ -straight or branched alkyl,  $-C(O)-Ar$ ,  $-S(O)_2-(C_1-C_6)$ -straight or branched alkyl, or  $-S(O)_2-Ar$ ; wherein

any alkyl, alkenyl or alkynyl group is optionally substituted with 1 to 3 independently selected halo groups; and

any Ar, aromatic carbocyclic ring or heterocyclic ring is optionally substituted with 1 to 3 substituents independently selected from halo, hydroxy, nitro, cyano, amino,  $(C_1-C_4)$ -straight or branched alkyl;  $O-(C_1-C_4)$ -straight or branched alkyl,  $(C_2-C_4)$ -straight or branched alkenyl or alkynyl, or  $O-(C_2-C_4)$ -straight or branched alkenyl or alkynyl;

any Ar, aromatic carbocyclic ring or heterocyclic ring is optionally benzofused; with the provisos that:

at least two of  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ , or  $R_5$  is hydrogen;

no more than two of  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ , or  $R_5$  comprises

Ar;

at least two of  $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  or  $R_{11}$  is hydrogen; and

no more than two of  $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  or  $R_{11}$  comprises

Ar;

when X is  $-C(O)-N(R_6)-$  or  $-N(R_6)-C(O)-$ , then

two adjacent groups selected from either  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$  and  $R_5$ , or from  $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$  and  $R_{11}$ , may not be taken

together with the carbon atoms to which they are bound to form a 6-membered aromatic carbocyclic ring; and

b). a pharmaceutically acceptable carrier, adjuvant or vehicle.

20. The composition according to claim 19, further comprising of this invention comprise a compound an additional agent selected from an immunosuppressant, an anti-cancer agent, an anti-viral agent, anti-inflammatory agent, antifungal agent, antibiotic, or an anti-vascular hyperproliferation compound.

21. A method of treating or preventing an IMPDH-mediated disease or condition in a mammal comprising the step of administering to said mammal a composition according to claim 19 or 20.

22. The method according to claim 21, wherein said IMPDH-mediated disease or condition is selected from transplant rejection, graft versus host disease, an autoimmune disease.

23. The method according to claim 22, wherein said mammal is administered an additional immunosuppressant in a separate dosage form or as part of said composition.

24. A method for inhibiting viral replication in a mammal comprising the step of administering to said mammal a composition according to claim 19 or 20.

25. The method according to claim 24, wherein the viral replication to be inhibited is that of a virus selected from orthomyxovirus, paramyxovirus, herpesvirus, retrovirus, flavivirus, pestivirus, hepatotropic virus, bunyavirus, Hantaan virus, Caraparu virus, human papilloma virus, encephalitis virus, arena virus, reovirus, vesicular stomatitis virus, rhinovirus, enterovirus, Lassa fever virus, togavirus, poxvirus, adenovirus, rubiola, or rubella is inhibited.

26. The method according to claim 25, wherein said mammal is administered an additional anti-viral agent in a separate dosage form or as part of said composition.

27. A method for inhibiting vascular cellular hyperproliferation in a mammal comprising the step of administering to said mammal a composition according to claim 19 or 20.

28. The method according to claim 27, wherein said method is useful in treating or preventing restenosis, stenosis, arteriosclerosis or other hyperproliferative vascular disease.

29. The method according to claim 28, wherein said mammal is administered an additional anti-vascular hyperproliferative agent in a separate dosage form or as part of said composition.

30. A method for inhibiting tumors and cancer in a mammal comprising the step of administering to said mammal a composition according to claim 19 or 20.

31. The method according to claim 30, wherein said medicament is useful to treat or prevent lymphoma, leukemia and other forms of cancer.

32. The method according to claim 31, wherein said mammal is administered an additional anti-tumor or anti-cancer agent in a separate dosage form or as part of said composition.

33. A method for inhibiting inflammation or an inflammatory disease in a mammal comprising the step of administering to said mammal a composition according to claim 19 or 20.

34. The method according to claim 33, wherein said method is useful for treating or preventing osteoarthritis, acute pancreatitis, chronic pancreatitis, asthma or adult respiratory distress syndrome.

35. The method according to claim 33, wherein said mammal is administered an additional anti-inflammatory agent in a separate dosage form or as part of said composition.

36. The compound of claim 1 or the composition of claim 19 or 20, wherein X is  $-N(R_6)-C(O)-Y-$ .

37. The compound or composition of claim 36, wherein Y is  $-C(R_{12})=C(R_{12})-$ .

38. The compound of claim 1 or the composition of claim 19 or 20, wherein Q is  $-N(H)-C(O)-O-$ .